EAST Search History

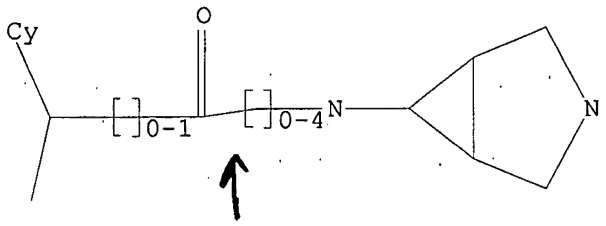
Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	164	548/515.ccls.	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 17:37
S2	572	514/412.ccls.	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 14:03
S3	714	S1 OR S2	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 14:04
S4	160	S3 AND (AZABICYCLO OR "3-AZABICYCLO[3.1.0]HEXANE")	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 14:05
S5	164	548/515.ccls.	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 17:37
S6	572	514/412.ccls.	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 17:37
S7	714	S5 OR S6	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 17:37
S8	14	S7 and ("3-azabicyclo[3.1.0]" or "3-azabicyclo[3.1.0]hex-6-yl")	US-PGPUB; USPAT; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/17 17:37

STN Structure Search (Registry/Caplus)

17:
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

No X (=bond)

Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\10552502\X is O S N.str

```
L2      STRUCTURE UPLOADED

=> d
L2 HAS NO ANSWERS
L2                STR
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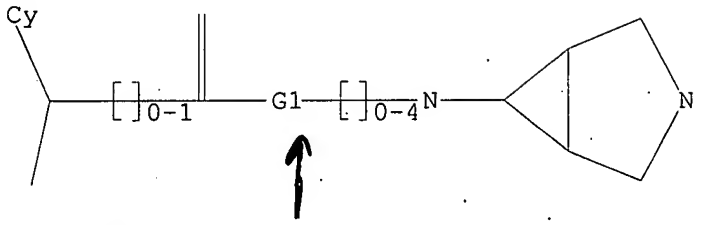

G1 O,S,N

X=O,S,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 14:35:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      298 TO ITERATE

100.0% PROCESSED      298 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4925 TO     6995
PROJECTED ANSWERS:               0 TO        0

L3            0 SEA SSS SAM L1

=> s l2
SAMPLE SEARCH INITIATED 14:35:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      453 TO ITERATE

100.0% PROCESSED      453 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         7784 TO    10336
PROJECTED ANSWERS:               0 TO        0

L4            0 SEA SSS SAM L2

=> s l1 full
FULL SEARCH INITIATED 14:35:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED      5217 TO ITERATE

100.0% PROCESSED     5217 ITERATIONS                          2 ANSWERS
SEARCH TIME: 00.00.01

L5            2 SEA SSS FUL L1

=> s l2 full
FULL SEARCH INITIATED 14:35:47 FILE 'REGISTRY'
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FULL SCREEN SEARCH COMPLETED 8296 TO ITERATE

100.0% PROCESSED 8296 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L6 0 SEA SSS FUL L2

=> d scan l5

```
=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              344.20     344.41

FILE 'CAPLUS' ENTERED AT 14:36:09 ON 21 JUN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 21 Jun 2007  VOL 146 ISS 26
FILE LAST UPDATED: 20 Jun 2007  (20070620/ED)

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They are available for your review at:

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=> d his

     (FILE 'HOME' ENTERED AT 14:34:57 ON 21 JUN 2007)

     FILE 'REGISTRY' ENTERED AT 14:35:05 ON 21 JUN 2007
L1                STRUCTURE UPLOADED
L2                STRUCTURE UPLOADED
L3             0 S L1
L4             0 S L2
L5             2 S L1 FULL
L6             0 S L2 FULL

     FILE 'CAPLUS' ENTERED AT 14:36:09 ON 21 JUN 2007

=> s l5
L7             2 L5

=> d ibib abs hitstr 1-2
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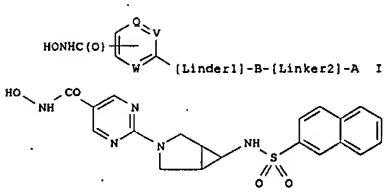
L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1226133 CAPLUS
DOCUMENT NUMBER: 145:505473
TITLE: Preparation of hydroxamic acids as histone deacetylase inhibitors for use against proliferative diseases including cancers
INVENTOR(S): Moffat, David Festus Charles; Patel, Sanjay Ratilal; Mazzei, Francesca Ann; Belfield, Andrew James; Van Meurs, Sandra
PATENT ASSIGNEE(S): Chroma Therapeutics Ltd, UK
SOURCE: PCT Int. Appl., 120pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006123121	A1	20061123	WO 2006-GB1779	20060515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
GB 2429707	A	20070307	GB 2006-18717	20060515
GB 2429707	B	20070613		
PRIORITY APPLN. INFO.:			GB 2005-10204 A	20050519
			WO 2006-GB1779 W	20060515

OTHER SOURCE(S): MARPAT 145:505473
GI

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



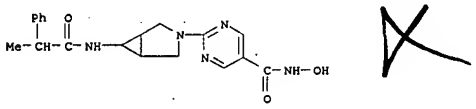
II

AB Hydroxamic acids (shown as I; variables defined below; e.g. N-hydroxy-2-[6-[[(2-naphthyl)sulfonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]pyrimidine-5-carboxamide hydrochloride (free base shown as II)) and salts, N-oxides, hydrates and solvates thereof are histone deacetylase inhibitors and are useful in the treatment of cell proliferative diseases, including cancers. For I: Q, V and W = N or C; B is a divalent radical = azetidin-1,3-diyl (N on left), 3-azabicyclo[3.1.0]hexane-3,6-diyl (N on either side), hexahydropyrrolo[3,4-c]pyrrole-2,5-diyl and 3,9-diazaspiro[5.5]undecane-3,9-diyl; A is an (un)substituted mono-, bi- or tri-cyclic carbocyclic or heterocyclic ring system; and -[Linker1]- and -[Linker2]- = a bond, or a divalent linker radical; addnl. details are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.80 examples of I are included. For example, II was prepared in 6 steps (82, not given, 85, 93, 87 and 75 % yields, resp.) starting with condensation of tert-Bu 6-amino-3-azabicyclo[3.1.0]hexane-3-carboxylate (preparation given) with 2-naphthalenesulfonyl chloride to give tert-Bu 6-[[(2-naphthyl)sulfonyl]amino]-3-azabicyclo[3.1.0]hexane-3-carboxylate, which was deprotected and alkylated by Et 2-(methylsulfonyl)pyrimidine-5-carboxylate (preparation given) to give Et 2-[6-[[(2-naphthyl)sulfonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]pyrimidine-5-carboxylate, which was saponified and condensed with O-(1-isobutoxyethyl)hydroxylamine to give N-(1-isobutoxyethoxy)-2-[6-[[(naphthalen-2-yl)sulfonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]pyrimidine-5-carboxamide, which was cleaved by HCl to give the final product. Semiquant. IC50 values for inhibition of histone deacetylase and U937, HUT and HeLa human cell lines are tabulated for .apprx.80 examples of I.

IT 914937-32-9P, N-Hydroxy-2-[6-[(2-phenylpropanoyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]pyrimidine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(drug candidate; prepn. of hydroxamic acids as histone deacetylase inhibitors for use against proliferative diseases including cancers)

RN 914937-32-9 CAPLUS
CN 5-Pyrimidinecarboxamide, N-hydroxy-2-[6-[(1-oxo-2-phenylpropyl)amino]-3-azabicyclo[3.1.0]hex-3-yl]- (9CI) (CA INDEX NAME)



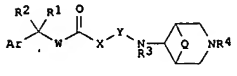
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:648506 CAPLUS
DOCUMENT NUMBER: 141:190686
TITLE: Preparation of 3,6-disubstituted azabicyclohexanes as muscarinic receptor antagonists
INVENTOR(S): Mehta, Anita; Silakari, Arundutt V.; Kumar, Naresh; Gupta, Jang Bahadur
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067510	A1	20040812	WO 2003-IB256	20030128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003202727	A1	20040823	AU 2003-202727	20030128
EP 1590325	A1	20051102	EP 2003-701638	20030128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006247225	A1	20061102	US 2005-543585	20050727
PRIORITY APPLN. INFO.:			WO 2003-IB256 A	20030128

OTHER SOURCE(S): CASREACT 141:190686; MARPAT 141:190686
GI



I

AB Title compds. [I; Ar = (substituted) aryl, heteroaryl; R1 = H, OH, HOCH2, alkyl, amino, alkoxy, cycloalkyl, carbamoyl, halo, aryl; R2 = alkyl, cycloalkyl, cycloalkenyl, (substituted) aryl, heteroaryl; W = (CH2)p; p = 0, 1; X = O, S, NR, null; Y = CHR5CO; R5 = H, Me, (CH2)qOH; q = 0-4; Q = (CH2)m; m = 0-2; R3 = H, alkyl, CO2CMe3; R4 = (unsatd.) (substituted) aliphatyl], were prepared Thus, 5-bromo-4-methylpent-3-ene, (1α,5α,6α)-6-tert-butoxycarbonylamino-3-azabicyclo[3.1.0]hexane, and K2CO3 were refluxed 5 h in MeCN to give (1α,5α,6α)-N-3-(4-methyl-3-pentenyl)-6-tert-butoxycarbonylamino-3-azabicyclo[3.1.0]hexane. This was treated with aqueous HCl in EtOAc at 0° to give (1α,5α,6α)-N-3-(4-methyl-3-pentenyl)-6-amino-3-azabicyclo[3.1.0]hexane. The latter was

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
stirred with 2-hydroxy-2-cyclopentyl-2-(4-methoxyphenyl)acetic acid, hydroxybenzotriazole, N-methylmorpholine, and EDC.HCl in DMF at 0° to room temp. to give (1α,5α,6α)-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl]-2-hydroxy-2-cyclopentyl-2-(4-methoxyphenyl)acetamide. In a contractile assay using rat bladder strips,
I showed pKB = 5.08-8.36 nM.
IT 738629-21-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 3,6-disubstituted azabicyclohexanes as muscarinic receptor antagonists)
RN 738629-21-5 CAPLUS
CN Benzeneacetamide, α-hydroxy-α-methyl-N-[(1α,5α,6α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.